ABSTRACT

TRIAZOLOPYRIMIDINE DERIVATIVES AS GLYCOGEN SYNTHASE KINASE 3 INHIBITORS

This invention concerns compounds of formula

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a *N*-oxide, a pharmaceutically acceptable addition salt, a quaternary amine and a stereochemically isomeric form thereof, wherein ring A represents phenyl, pyridyl, pyrimidinyl, pyridazinyl or pyrazinyl; R¹ represents hydrogen; aryl; formyl; C₁₋₆alkylcarbonyl; C₁₋₆alkylcarbonyl; C₁₋₆alkylcarbonyl; C₁₋₆alkylcarbonyl, C₁₋₆alkylcarbonyl, C₁₋₆alkylcarbonyl, C₁₋₆alkylcarbonyl, yor optionally substituted C₁₋₆alkylcarbonyl; X₁ represents a direct bond; -(CH₂)_{n3}- or -(CH₂)_{n4}-X_{1a}-X_{1b}-; R² represents optionally substituted C₃₋₇cycloalkyl; phenyl; a 4, 5, 6- or 7-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N; benzoxazolyl or a radical of formula

 X_2 represents a direct bond; $-NR^1$ -; $-NR^1$ -(CH₂)_{n3}-; -O-; -O-(CH₂)_{n3}-; -C(=O)-; -C(=O)- (CH₂)_{n3}-; -C(=O)-NR⁵-(CH₂)_{n3}-; -C(=S)-; -S-; -S(=O)_{n1}-; -(CH₂)_{n3}-; $-(CH_2)_{n4}-X_{1a}-X_{1b}-$; $-X_{1a}-X_{1b}-(CH_2)_{n4}-$; $-S(=O)_{n1}-NR^5-(CH_2)_{n3}-NR^5-$ or 20 $-S(=O)_{n1}-NR^{5}-(CH_{2})_{n3}$; R^{3} represents an optionally substituted 5-or 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N, or a 9-or 10-membered bicyclic heterocycle containing at least one heteroatom selected from O, S or N; R⁴ represents hydrogen; halo; hydroxy; optionally substituted C₁₋₄alkyl; optionally substituted C₂₋₄alkenyl or C₂₋₄alkynyl; polyhaloC₁₋₃alkyl; 25 optionally substituted C₁₋₄alkyloxy; polyhaloC₁₋₃alkyloxy; C₁₋₄alkylthio; polyhaloC₁₋₃alkylthio; C₁₋₄alkyloxycarbonyl; C₁₋₄alkylcarbonyloxy; C₁₋₄alkylcarbonyl; polyhaloC₁₋₄alkylcarbonyl; nitro; cyano; carboxyl; NR⁹R¹⁰; C(=O)NR⁹R¹⁰; $-NR^5-C(=O)-NR^9R^{10}$; $-NR^5-C(=O)-R^5$; $-S(=O)_{n1}-R^{11}$; $-NR^5-S(=O)_{n1}-R^{11}$; -S-CN; -NR⁵-CN; their use, pharmaceutical compositions comprising them and processes for 30 their preparation.